```
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 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2  Dec 17   The CA Lexicon available in the CAPLUS and CA files
 NEWS  3  Feb 06   Engineering Information Encompass files have new names
 NEWS  4  Feb 16   TOXLINE no longer being updated
 NEWS  5  Apr 23   Search Derwent WPINDEX by chemical structure
 NEWS  6  Apr 23   PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA
 NEWS  7  May 07   DGENE Reload
 NEWS  8  Jun 20   Published patent applications (A1) are now in USPATFULL
 NEWS  9  JUL 13   New SDI alert frequency now available in Derwent's
                   DWPI and DPCI

 NEWS EXPRESS  July 11 CURRENT WINDOWS VERSION IS V6.0b,
               CURRENT MACINTOSH VERSION IS V5.0C (ENG) AND V5.0JB (JP),
               AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2001
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 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 10:04:03 ON 01 AUG 2001

=> file reg

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.15       0.15

FILE 'REGISTRY' ENTERED AT 10:04:08 ON 01 AUG 2001
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STRUCTURE FILE UPDATES: 31 JUL 2001 HIGHEST RN 349606-47-9
DICTIONARY FILE UPDATES: 31 JUL 2001 HIGHEST RN 349606-47-9

TSCA INFORMATION NOW CURRENT THROUGH January 11, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search limits have been increased. See HELP SLIMIT for details.

=>
Uploading 811359s.str

L1 STRUCTURE UPLOADED

=> s l1 ful

FULL SEARCH INITIATED 10:04:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 500240 TO ITERATE

80.0% PROCESSED 400000 ITERATIONS 38449 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.24

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 500240 TO 500240
PROJECTED ANSWERS: 47427 TO 48741

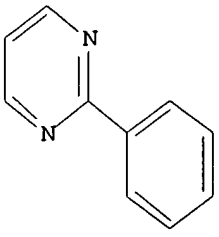
L2 38449 SEA SSS FUL L1

=>
Uploading 811359.str

L3 STRUCTURE UPLOADED

=> d l3

L3 HAS NO ANSWERS
L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l3 sub=l2 ful

FULL SUBSET SEARCH INITIATED 10:06:10 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 22913 TO ITERATE

100.0% PROCESSED 22913 ITERATIONS 18689 ANSWERS
SEARCH TIME: 00.00.02

L4 18689 SEA SUB=L2 SSS FUL L3

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	165.99	166.14

FILE 'CAPLUS' ENTERED AT 10:06:39 ON 01 AUG 2001
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FILE COVERS 1947 - 1 Aug 2001 VOL 135 ISS 6
FILE LAST UPDATED: 31 Jul 2001 (20010731/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGlstRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

CAplus now provides online access to patents and literature covered in CA from 1947 to the present. On April 22, 2001, bibliographic information and abstracts were added for over 2.2 million references published in CA from 1947 to 1966.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

=> s l4

L5 3113 L4

=> s (crf or corticotropin)

```
          6196 CRF
         10501 CORTICOTROPIN
L6       12960 (CRF OR CORTICOTROPIN)

=> s (anorex? or bulimi? or depress? or bipolar or CNS)

          4892 ANOREX?
           451 BULIMI?
        138994 DEPRESS?
         23093 BIPOLAR
         22147 CNS
L7      185612 (ANOREX? OR BULIMI? OR DEPRESS? OR BIPOLAR OR CNS)

=> s l6 or l7

L8      197719 L6 OR L7

=> s l5 and l8

L9          11 L5 AND L8

=> d l9 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 11 ANSWERS - CONTINUE? Y/(N):y


L9   ANSWER 1 OF 11  CAPLUS  COPYRIGHT 2001 ACS
ACCESSION NUMBER:        2001:283949  CAPLUS
DOCUMENT NUMBER:         134:311218
TITLE:                   Synthesis and use of heterocyclic sodium/proton
                         exchange inhibitors
INVENTOR(S):             Ahmad, Saleem; Wu, Shung C.; O'Neil, Steven V.; Ngu,
                         Khehyong; Atwal, Karnail S.
PATENT ASSIGNEE(S):      Bristol-Myers Squibb Company, USA
SOURCE:                  PCT Int. Appl., 221 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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     WO 2001027107      A2   20010419       WO 2000-US27461  20001002
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                    US 1999-158755   P  19991012
OTHER SOURCE(S):         MARPAT 134:311218
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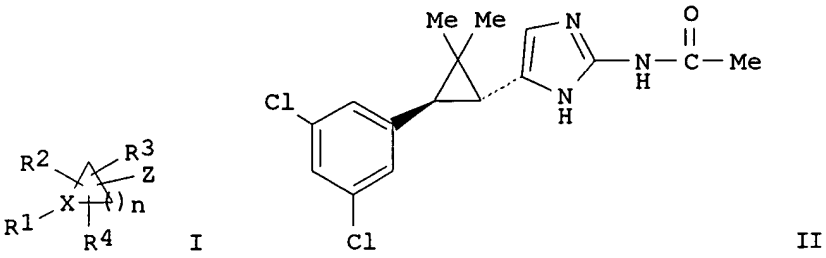
GI



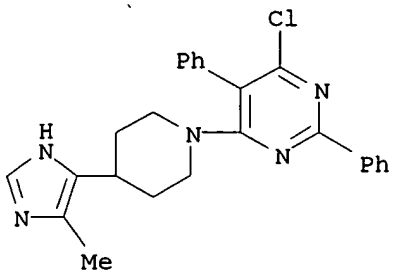
I II

AB Compds. of formula I [wherein; n is 1-5; X is N or CR5, where R5 is H, halo, alkenyl, alkynyl, alkoxy, alkyl, aryl or heteroaryl; Z is a heteroaryl group; R1 is H, alk(en)(yn)yl, alk(enyl)(ynyl)oxy, (aryl or alkyl)3Si, cycloalk(en)yl, (aryl)amino, aryl(alkyl), cycloheteroaryl, etc.; R2, R3 and R4 are any of the groups set out for R1 and optionally substituted with 1 to 5 substituents which may be the same or different and when X is N, R1 is preferably aryl or heteroaryl] are claimed. Several hundred examples are disclosed. Synthesis of II proceeds via cyclopropanation of the cinnamate derived from the olefination between 3,5-dichlorobenzaldehyde and t-butyldiethylphosphonoacetate. The intermediate tert-Bu ester is converted to the corresponding .alpha.-chloroketone and reacted with acetyl guanidine to provide II in a total of 5 steps. Compds. I are said to be sodium/proton exchange inhibitors (NHE). Pharmaceutical combinations are claimed using I and certain antihypertensive agents, .beta.-adrenergic agonists, hypolipidemic agents, antidiabetic agents, antiobesity agents, etc. Compds. I are useful as antianginal and cardioprotective agents and provide a method for preventing or treating angina pectoris, cardiac dysfunction, myocardial necrosis, and arrhythmia.

IT **335063-13-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and use of heterocyclic sodium/proton exchange inhibitors)

RN 335063-13-3 CAPLUS

CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2,5-diphenyl- (9CI) (CA INDEX NAME)



L9 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:861681 CAPLUS
DOCUMENT NUMBER: 134:17498
TITLE: Preparation of 2-arylpurine-9-acetamide derivatives having selective action on peripheral benzodiazepine receptor, process for the preparation thereof, medicinal compositions containing the same and intermediates of the derivatives
INVENTOR(S): Murata, Teruya; Kondo, Katsunori; Masumoto, Kaoru; Kohayakawa, Hitoshi; Furukawa, Kiyoshi
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000073306	A1	20001207	WO 2000-JP3374	20000526

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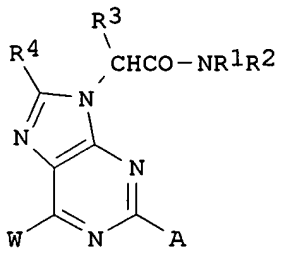
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-150878 A 19990531
OTHER SOURCE(S): MARPAT 134:17498
GI

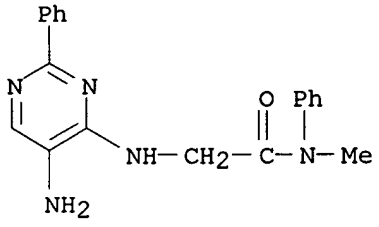


I

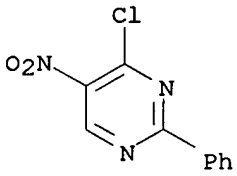
AB The title 2-arylpurine-9-acetamide derivs. represented by general formula (I; R1 is lower alkyl, cycloalkyl, cycloalkylated lower alkyl, or the like; R2 is lower alkyl, substituted or unsubstituted Ph, or the like; R3 is hydrogen or the like; R4 is hydrogen, lower alkyl, cycloalkyl, halogeno, lower alkoxy, or the like; A is substituted or unsubstituted Ph or the like; W is hydrogen, lower alkyl, halogeno, lower alkoxy, lower alkylthio, lower alkanoyl, or the like) or pharmaceutically acceptable acid addn. salts thereof are prepd. as well as pharmaceutical compns. contg. them. These compds. selectively act on peripheral benzodiazepine receptor BZ.omega.3 receptor and are useful as therapeutic and preventive drugs for central nervous system diseases such as anxiety-related diseases, **depression** and epilepsy. Thus, a mixt. of 2-(5-amino-2-phenyl-4-pyrimidinylamino)-N-methyl-N-phenylacetamide and DMF was heated at 180.degree. with stirring for 2 h to give N-methyl-N-phenyl-2-phenyl-9H-purine-9-acetamide (II). II and N-methyl-N-phenyl-8-chloro-2-phenyl-9H-purine-9-acetamide inhibited the binding of [3H]4'-chlorodiazepam to BZ.omega.3 receptor prepd. from rat kidney with IC50 of 0.88 and 0.23 nM, resp.

IT **184108-89-2 184109-87-3**
RL: RCT (Reactant)
(prepn. of 2-arylpurine-9-acetamide derivs. having selective action on peripheral benzodiazepine receptor as drugs)

RN 184108-89-2 CAPLUS
CN Acetamide, 2-[(5-amino-2-phenyl-4-pyrimidinyl)amino]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)

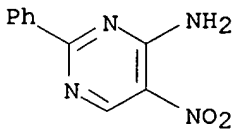


RN 184109-87-3 CAPLUS
CN Pyrimidine, 4-chloro-5-nitro-2-phenyl- (9CI) (CA INDEX NAME)

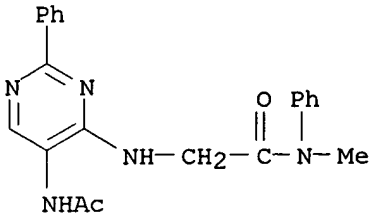


IT **84928-86-9P 184108-91-6P 310408-36-7P**
310408-58-3P 310408-67-4P 310408-70-9P
310409-51-9P 310410-51-6P 310410-52-7P
310410-53-8P 310410-54-9P 310410-55-0P
310410-56-1P 310410-57-2P 310410-58-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 2-arylpurine-9-acetamide derivs. having selective action on peripheral benzodiazepine receptor as drugs)

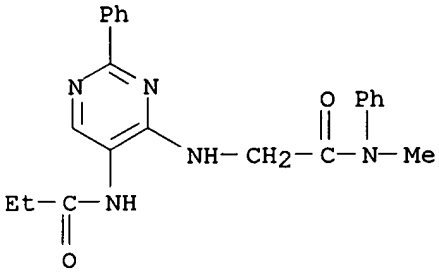
RN 84928-86-9 CAPLUS
CN 4-Pyrimidinamine, 5-nitro-2-phenyl- (9CI) (CA INDEX NAME)



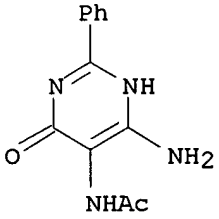
RN 184108-91-6 CAPLUS
CN Acetamide, 2-[[5-(acetylamino)-2-phenyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



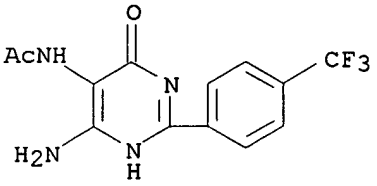
RN 310408-36-7 CAPLUS
CN Propanamide, N-[4-[[2-(methylphenylamino)-2-oxoethyl]amino]-2-phenyl-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



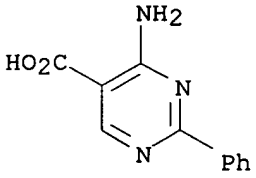
RN 310408-58-3 CAPLUS
CN Acetamide, N-(6-amino-1,4-dihydro-4-oxo-2-phenyl-5-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 310408-67-4 CAPLUS
CN Acetamide, N-[6-amino-1,4-dihydro-4-oxo-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

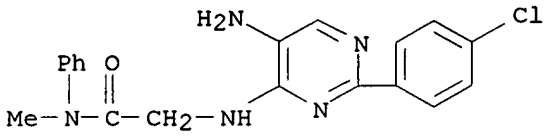


RN 310408-70-9 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-amino-2-phenyl- (9CI) (CA INDEX NAME)

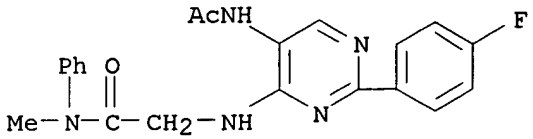


RN 310409-51-9 CAPLUS
CN Acetamide,
2-[[5-amino-2-(4-chlorophenyl)-4-pyrimidinyl]amino]-N-methyl-N-

phenyl- (9CI) (CA INDEX NAME)



RN 310410-51-6 CAPLUS
CN Acetamide, 2-[[5-(acetylamino)-2-(4-fluorophenyl)-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 310410-52-7 CAPLUS
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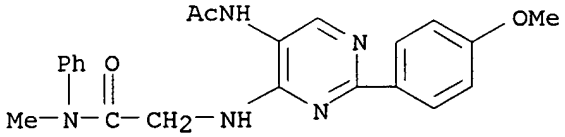
2-[[5-(acetylamino)-2-(4-methoxyphenyl)-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 310410-53-8 CAPLUS
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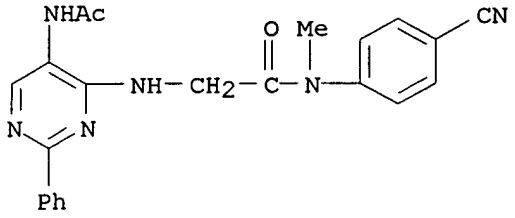
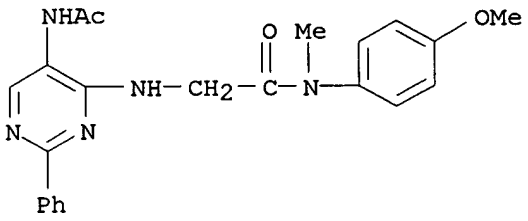


RN 310410-54-9 CAPLUS
CN Acetamide, 2-[[5-(acetylamino)-2-phenyl-4-pyrimidinyl]amino]-N-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)



RN 310410-55-0 CAPLUS
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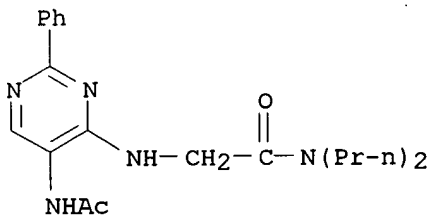
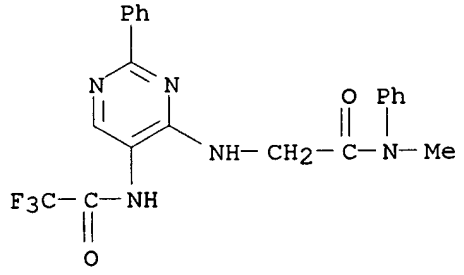
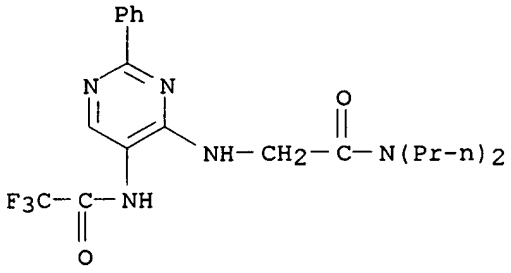
2-[[5-(acetylamino)-2-phenyl-4-pyrimidinyl]amino]-N,N-dipropyl-
(9CI) (CA INDEX NAME)



RN 310410-56-1 CAPLUS
CN Acetamide,
2,2,2-trifluoro-N-[4-[[2-(methylphenylamino)-2-oxoethyl]amino]-
2-phenyl-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 310410-57-2 CAPLUS
CN Acetamide, N-[4-[[2-(dipropylamino)-2-oxoethyl]amino]-2-phenyl-5-
pyrimidinyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



RN 310410-58-3 CAPLUS
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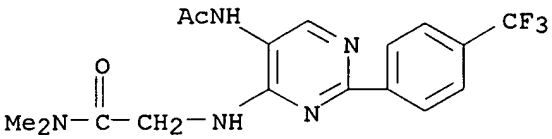


REFERENCE COUNT: 4
REFERENCE(S): (1) Dainippon Pharmaceutical Co Ltd; AU 9912604 A1 CAPLUS
(2) Dainippon Pharmaceutical Co Ltd; ZA 9810490 A 1999 CAPLUS
(3) Dainippon Pharmaceutical Co Ltd; WO 9928320 A1 1999 CAPLUS
(4) James, L; J Med Chem 1990, V33(7), P1910

L9 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:861658 CAPLUS
DOCUMENT NUMBER: 134:29425
TITLE: Novel 4-phenyl-pyrimidine derivatives as NK-1 receptor antagonists
INVENTOR(S): Boes, Michael; Galley, Guido; Godel, Thierry; Hoffmann, Torsten; Hunkeler, Walter; Schnider, Patrick; Stadler, Heinz
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000073279	A1	20001207	WO 2000-EP4701	20000524

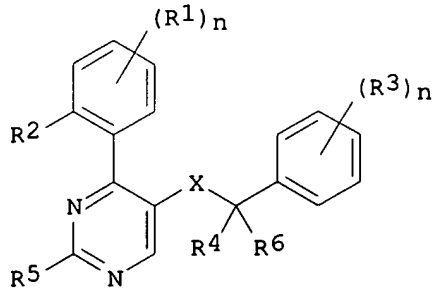
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,

late

DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG,
MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,
TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 1999-110483 A 19990531
OTHER SOURCE(S): MARPAT 134:29425

GI



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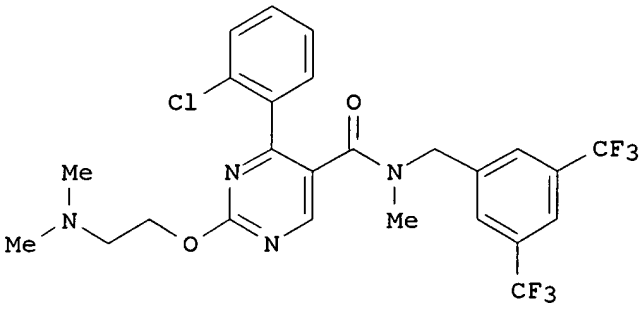


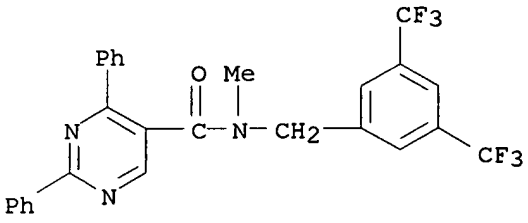
II

AB The invention discloses pyrimidine derivs. I [R1 = H or halo; R2 = H, halo, lower alkyl or lower alkoxy; R1 and R2 may be together with the two carbon atoms -CH=CH-CH=CH-; R3 = halo, CF3, lower alkyl or lower alkoxy; R4, R6 = (independently) H or lower alkyl; R5 = lower alkyl, lower alkoxy, amino, Ph, hydroxy-lower alkyl, cyano-lower alkyl, carbamoyl-lower alkyl, pyridyl, pyrimidyl, (un)substituted -(CH2)n-piperazinyl, which is optionally substituted by one or two lower alkyl groups or by hydroxy-lower alkyl, -(CH2)n-morpholinyl, -(CH2)n-piperidinyl, -(CH2)n+1-imidazolyl, lower alkyl-sulfanyl, lower alkyl-sulfonyl, benzylamino, -NH-(CH2)n+1N(R7)2, -(CH2)n+1N(R7)2, -O-(CH2)n+1-morpholinyl, -O-(CH2)n+1-piperidinyl or -O-(CH2)n+1N(R7)2, wherein R7 = H or lower alkyl; n = 0-2; X = -C(O)N(R7)- or -N(R7)C(O)-] and their pharmaceutically

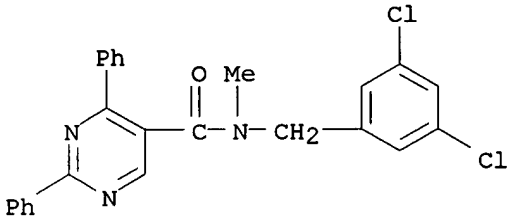
acceptable acid addn. salts as NK-1 receptor antagonists. The preferred compds. exhibited pKi values for NK-1 receptor affinity in the range of 8.00-9.20, e.g., the pKi of II was 8.45. With demonstrated affinity to the NK-1 receptor, these compds. may prove useful for the treatment of medical conditions related to this receptor, e.g., inflammatory conditions such as arthritis, migraine, asthma, etc., and in particular **CNS** disorders such as **depression** or emesis.

IT **311339-61-4P 311339-62-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn and biol. activity of phenylpyrimidine derivs. as NK-1 antagonists)

RN 311339-61-4 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-N-methyl-2,4-diphenyl- (9CI) (CA INDEX NAME)

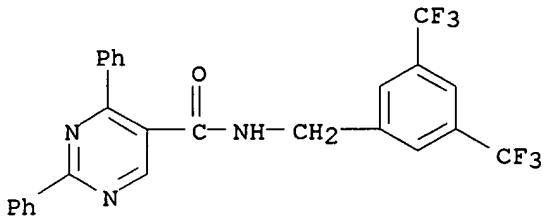


RN 311339-62-5 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-N-methyl-2,4-diphenyl- (9CI) (CA INDEX NAME)

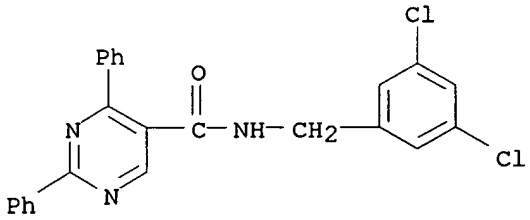


IT **311340-83-7P 311340-84-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn and biol. activity of phenylpyrimidine derivs. as NK-1 antagonists)

RN 311340-83-7 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2,4-diphenyl- (9CI) (CA INDEX NAME)



RN 311340-84-8 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-2,4-diphenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2
REFERENCE(S): (1) Fujisawa; EP 0169712 A 1986 CAPLUS
(2) Signal Pharmaceuticals; WO 9709315 A 1997 CAPLUS

L9 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:511159 CAPLUS
DOCUMENT NUMBER: 131:157709
TITLE: Preparation of bicyclic pyridine and pyrimidine derivatives as neuropeptide Y receptor antagonists
INVENTOR(S): Norman, Mark H.; Chen, Ning; Han, Nianhe; Liu, Longbin; Hurt, Clarence R.; Fotsch, Christopher H.; Jenkins, Tracy J.; Moreno, Ofir A.
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 469 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940091	A1	19990812	WO 1999-US2500	19990205

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

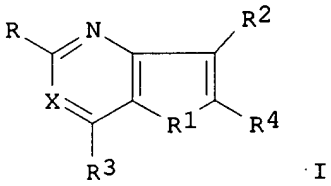
US 6187777	B1	20010213	US 1999-246775	19990204
AU 9926590	A1	19990823	AU 1999-26590	19990205
EP 1054887	A1	20001129	EP 1999-906756	19990205

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.:	US 1998-73927	P	19980206
	US 1998-73981	P	19980206
	US 1998-93482	P	19980720
	US 1998-93577	P	19980720
	US 1999-246775	A	19990204
	US 1998-83577	P	19980720
	WO 1999-US2500	W	19990205

OTHER SOURCE(S): MARPAT 131:157709

GI



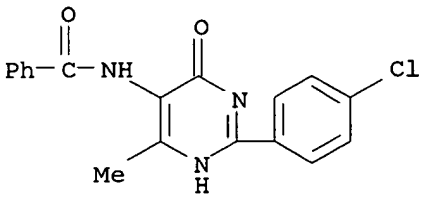
I

AB Title compds.[I; R = H, CH3, (CH3)2CH, SCH3, CH3CH2, NH2, CF3, NHCOC6H5, cyclopropyl, CH2OH, (CH3)2CH2CH2, N(CH3)2, OCH3, NHCH3, NH(CH2)4NH2; R1 = NH, S, NCH3, O; R2 = H, COCH3, C6H5, CH3, CH3CH2; R3 = NH2, CH3, NHC6H5, N(CH2CH3)2, (CH3CH2)N(CH2)3CH3, (CH3)N(CH2)2NHCH3, N(CH3)CH(CH3)CH(Ph)OH, (CH3CH2)NCH2C(CH3):CH2, NHCH2CF3, NHCH2CH2C6H5, NH(CH2)3OCH2CH3, 4-ClC6H4, 4-CH3OC6H5, 2-thienyl, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl, 1-piperazinyl, 3-pyridyl; R4 = C6H5, 4-CH3C6H4, 4-ClC6H4, (CH3)3C, 4-FC6H4, 3-HOC6H4, 2-pyridyl, cyclohexyl, 2-furyl, 2-FC6H4 2-thienyl, 1-adamantyl, CH3, 4-CH3OC6H4; X = N, CH; etc.], pharmaceutical acceptable salts, ester, solvate, and N-oxide are prepd. and tested as neuropeptide Y receptor antagonists in the modulation of feeding behavior, obesity, diabetes, cancer, inflammatory disorders, **depression**, stress related disorders, Alzheimer's disease and other disease conditions. Thus, the title compd. I (R = CH3; R1 = NH; X = N; R2 = H; R3 = N(CH2CH3)2; R4 = C6H5) was prepd.

IT **237435-23-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

RN 237435-23-3 CAPLUS

CN Benzamide, N-[2-(4-chlorophenyl)-1,4-dihydro-6-methyl-4-oxo-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10
REFERENCE(S): (1) Ciba-Geigy AG; EP 0682027 A 1995 CAPLUS
(2) Eli Lilly And Company; WO 9725041 A 1997 CAPLUS
(3) Janssen Pharmaceutica N V; WO 9729110 A 1997 CAPLUS
(4) Neurogen Corporation; WO 9635689 A 1996 CAPLUS
(5) Novartis AG; WO 9807726 A 1998 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:468588 CAPLUS
DOCUMENT NUMBER: 131:116242
TITLE: Preparation of 2-(aminoalkanoyl)-4-benzoyl-2-phenylpiperazine derivatives as neurokinin antagonists
INVENTOR(S): Shue, Ho-Jane; Shih, Neng-Yang; Blythin, David J.; Chen, Xiao; Piwinski, John J.; McCormick, Kevin D.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9936424	A1	19990722	WO 1999-US46	19990111
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9921013	A1	19990802	AU 1999-21013	19990111
EP 1047698	A1	20001102	EP 1999-901277	19990111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1998-6942 A2 19980114
WO 1999-US46 W 19990111
OTHER SOURCE(S): MARPAT 131:116242
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

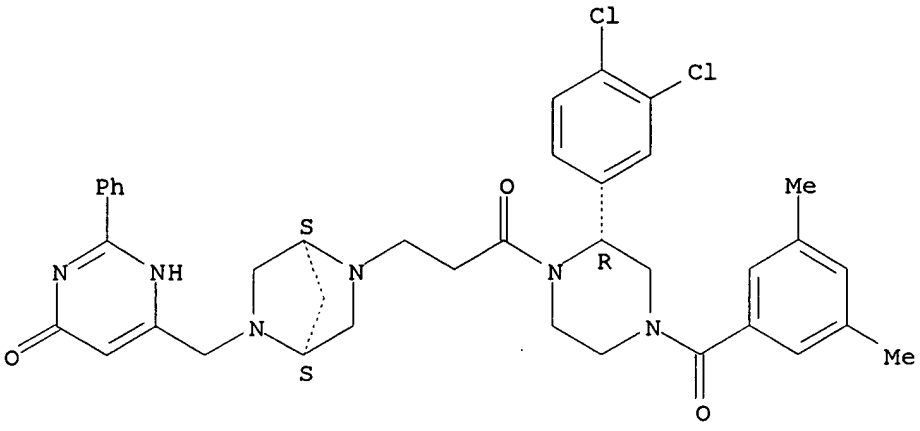
AB The invention relates to neurokinin antagonists of formula (e.g. I; R = Q,
Q1, Q2, Q3; Y = acetamido-, carbamoyl-, or dimethylcarbamoyl-Ph, 2- or 3-thienyl, methyl-2- or -3-thienyl, 2-(methylcarbamoyl)-4-thiazolyl, 3,5-dimethylisoxazol-4-yl, pyridyl, carbamoyl-, mono- or dimethylcarbamoyl-, or acetamido-2-, 3-, or 4-pyridyl, 5-methoxycarbonyl-2- or 3-thienyl, acetamido-, carbamoyl-, or mono or dimethylcarbamoyl-2- or 4-thienyl, etc.). These compds. are antagonists of neurokinin-1 (NK1), -2 (NK2), or -3 (NK3) receptors useful for the treatment of (i) chronic airway diseases such as asthma and allergies, (ii) inflammatory diseases such as inflammatory bowel disease, psoriasis, and rheumatoid arthritis, (iii) migraine, (iv) central nervous system disorders such as **depression**, anxiety, , psychosis, dementia, and Alzheimer's disease, (v) Down's syndrome, (vi) neuropathy, (vii) multiple sclerosis, (viii) ophthalmic disorders, (ix) conjunctivitis, (x) emesis, (xi) nociception, etc. (no data). Thus, (3R)-1-(3,5-dimethylbenzoyl)-3-(3,4-dichlorophenyl)piperazine was acylated by chloropropionyl chloride in the presence of diisopropylethylamine in CH2Cl2 at room temp. for 20 min and then condensed with (1S,4S)-2-benzyl-2,5-diazabicyclo[2.2.1]heptane dihydrobromide in the presence of diisopropylethylamine to give the title compd. (II).

IT **232270-09-6P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (aminoalkanoyl)benzoylphenylpiperazine derivs. as neurokinin
antagonists for treatment of diseases)

RN 232270-09-6 CAPLUS

CN Piperazine, 2-(3,4-dichlorophenyl)-1-[3-[(1S,4S)-5-[(1,6-dihydro-6-oxo-2-phenyl-4-pyrimidinyl)methyl]-2,5-diazabicyclo[2.2.1]hept-2-yl]-1-oxopropyl]-4-(3,5-dimethylbenzoyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



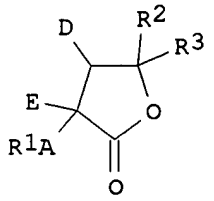
REFERENCE COUNT: 2

REFERENCE(S): (1) Schering; WO 9708166 A 1997 CAPLUS
(2) Schering; WO 9818788 A 1998 CAPLUS

L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:464288 CAPLUS
DOCUMENT NUMBER: 131:116146
TITLE: Preparation of 6-benzyl-5-methylidenehexahydrocyclopenta[c]furan-1-ones as metabotropic glutamate receptor modulators.
INVENTOR(S): Stolle, Andreas; Antonicek, Horst-Peter; Lensky, Stephen; Voerste, Arnd; Muller, Thomas; Baumgarten, Jorg; Von Dem Bruch, Karsten; Muller, Gerhard; Stropp, Udo; Horvath, Ervin; De Vry, Jean-Marie Viktor; Schreiber, Rudy
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 229 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9936416	A1	19990722	WO 1999-EP132	19990112
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19801646	A1	19990722	DE 1998-19801646	19980117
AU 9925172	A1	19990802	AU 1999-25172	19990112
EP 1047684	A1	20001102	EP 1999-904767	19990112
R: DE, ES, FR, GB, IT				

PRIORITY APPLN. INFO.: DE 1998-19801646 A 19980117
WO 1999-EP132 W 19990112
OTHER SOURCE(S): MARPAT 131:116146
GI



I

AB Title compds. [I; A = CH2, CO, CR4OH, (CH2)aCHR5, alkylene, alkenylene,

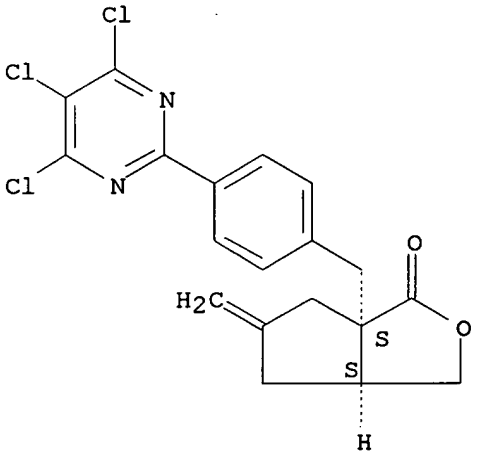
alkynylene; a = 0-4; R4 = H, alkyl; R5 = Ph; R1 = H, (substituted) cycloalkyl, heterocyclyl, benzoheterocyclyl, aryl, etc.; R2, R3 = H, alkyl; DE = CH2C(:CR32R31)CH2, CR33:CR34CHR35, etc.; R31-R35 = H, Ph, alkyl], were prepd. for preventing and/or treating diseases caused by the hyper- or hypofunction of the glutamatergic system, esp. cerebral ischemia, cranial/cerebral trauma, pain or **CNS**-mediated cramps (no data). Thus, 2-methoxycarbonyl-4-methylidenecyclopentanecarboxylic acid in THF at -15.degree. was treated with Et3n and EtO2CCl followed by 1 h stirring at room temp. The mixt. was filtered and the filtrate in MeOH at -15.degree. was treated with NaBH4 followed by 1 h stirring at room temp. to give 58% (3aS*,6aR*)-5-methylidenehexahydrocyclopenta[c]furan-1-one. The latter in PhMe was was added to LiN(SiMe3)2 in THF/PhMe at -78.degree. followed by warming to room temp., 1 h stirring, and addn. of PhCH2Br to give 68% (3aS*,6aR*)-6a-benzyl-5-methylidenehexahydrocyclopenta[c]furan-1-one.

IT **232605-76-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 6-benzyl-5-methylidenehexahydrocyclopenta[c]furan-1-ones as metabotropic glutamate receptor modulators)

RN 232605-76-4 CAPLUS

CN 1H-Cyclopenta[c]furan-1-one, hexahydro-5-methylene-6a-[[4-(4,5,6-trichloro-2-pyrimidinyl)phenyl]methyl]-, (3aR,6aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 4

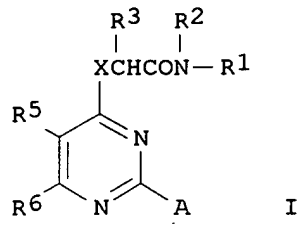
REFERENCE(S):
(1) Brian, A; WO 9607405 A 1996 CAPLUS
(2) Hudlicky, T; JOURNAL OF ORGANIC CHEMISTRY 1983, V48(20), P3422 CAPLUS
(3) Lilly Co Eli; EP 0774461 A 1997 CAPLUS
(4) Roberto, P; WO 9615099 A 1996 CAPLUS

L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2001 ACS

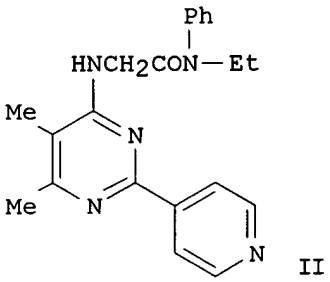
ACCESSION NUMBER: 1998:175920 CAPLUS
DOCUMENT NUMBER: 128:230383
TITLE: Preparation and formulation of pyrimidine derivatives as pharmaceuticals with affinity for peripheral benzodiazepine receptors
INVENTOR(S): Murata, Teruya; Kondo, Katsunori; Furukawa, Kiyoshi; Oka, Makoto
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan; Murata, Teruya; Kondo, Katsunori; Furukawa, Kiyoshi; Oka, Makoto
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9809960	A1	19980312	WO 1997-JP3079	19970903
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9741342	A1	19980326	AU 1997-41342	19970903
PRIORITY APPLN. INFO.:			JP 1996-255420	19960904
			WO 1997-JP3079	19970903

OTHER SOURCE(S): MARPAT 128:230383
GI



I



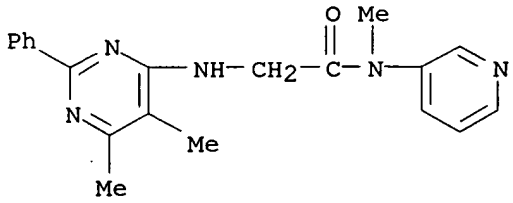
II

AB The title compds. I [X represents O or NR4; R1 represents H, lower alkyl, etc.; R2 represents lower alkyl, lower alkenyl, etc.; R3 represents H, lower alkyl, etc.; R4 represents H or lower alkyl; R5 represents H, lower alkyl, etc. or halogeno, hydroxy(lower)alkyl, lower alkoxy(lower)alkyl, etc.; R6 represents H, lower alkyl, etc. or hydroxy(lower)alkyl, lower alkoxy(lower)alkyl, etc., or R5 and R6 may form together (CH2)n (wherein n is 3 to 6); and A represents optionally substituted heteroaryl or optionally substituted Ph] are prepd. These compds. are expected to be useful as remedies and preventives for central diseases, for example, diseases assocd. with anxiety, such as neurosis and psychosomatic disorder, **depression** and epilepsy; circulatory diseases such as angina pectoris and hypertension; immunol. nervous diseases such as multiple sclerosis; or immunol. inflammatory diseases such as rheumatism. In an in vitro test for affinity for the peripheral benzodiazepine receptors, the title compd. II showed IC50 of 0.25 nM.

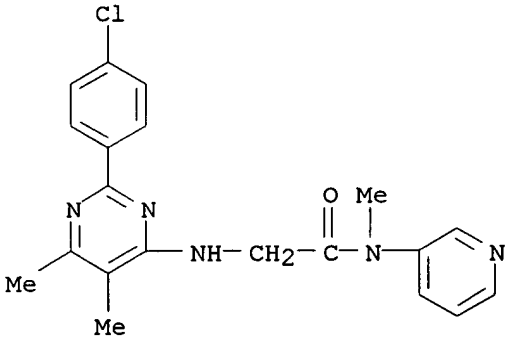
IT **204394-07-0P 204394-08-1P 204394-09-2P**
204394-10-5P 204394-11-6P 204394-14-9P
204394-15-0P 204394-16-1P 204394-17-2P
204394-18-3P 204394-19-4P 204394-20-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidine derivs. as pharmaceuticals with affinity for peripheral benzodiazepine receptors)

RN 204394-07-0 CAPLUS

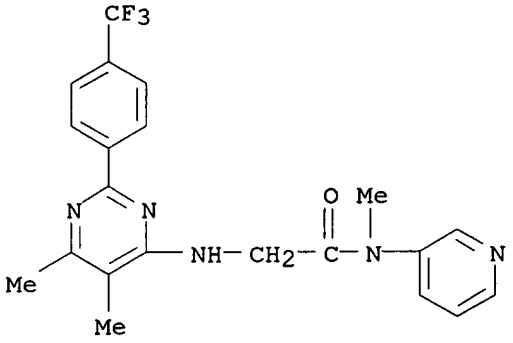
CN Acetamide, 2-[(5,6-dimethyl-2-phenyl-4-pyrimidinyl)amino]-N-methyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



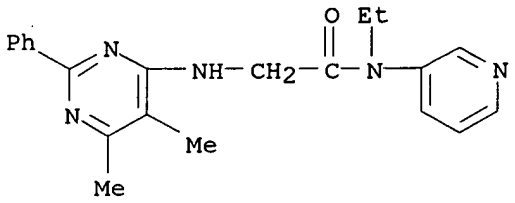
RN 204394-08-1 CAPLUS
CN Acetamide, 2-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



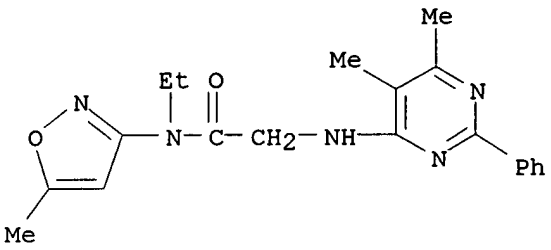
RN 204394-09-2 CAPLUS
CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N-methyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



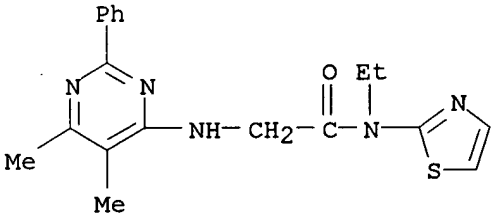
RN 204394-10-5 CAPLUS
CN Acetamide, 2-[(5,6-dimethyl-2-phenyl-4-pyrimidinyl)amino]-N-ethyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



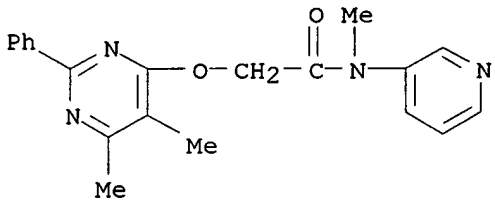
RN 204394-11-6 CAPLUS
CN Acetamide, 2-[(5,6-dimethyl-2-phenyl-4-pyrimidinyl)amino]-N-ethyl-N-(5-methyl-3-isoxazolyl)- (9CI) (CA INDEX NAME)



RN 204394-14-9 CAPLUS
CN Acetamide, 2-[(5,6-dimethyl-2-phenyl-4-pyrimidinyl)amino]-N-ethyl-N-2-thiazolyl- (9CI) (CA INDEX NAME)

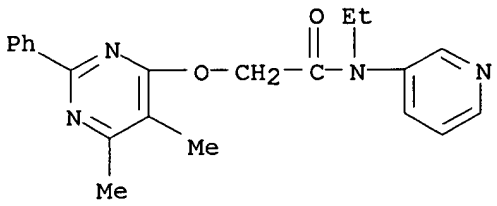


RN 204394-15-0 CAPLUS
CN Acetamide, 2-[(5,6-dimethyl-2-phenyl-4-pyrimidinyl)oxy]-N-methyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)

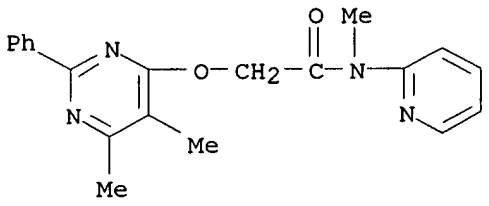


RN 204394-16-1 CAPLUS

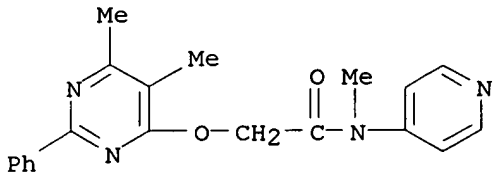
CN Acetamide, 2-[(5,6-dimethyl-2-phenyl-4-pyrimidinyl)oxy]-N-ethyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



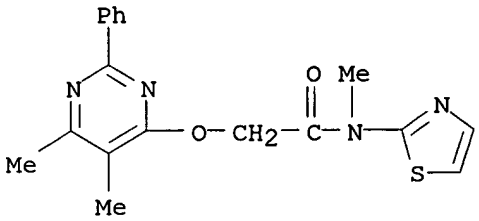
RN 204394-17-2 CAPLUS
CN Acetamide, 2-[(5,6-dimethyl-2-phenyl-4-pyrimidinyl)oxy]-N-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 204394-18-3 CAPLUS
CN Acetamide, 2-[(5,6-dimethyl-2-phenyl-4-pyrimidinyl)oxy]-N-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

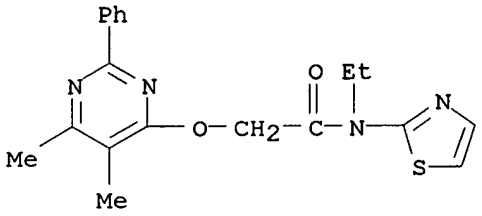


RN 204394-19-4 CAPLUS
CN Acetamide, 2-[(5,6-dimethyl-2-phenyl-4-pyrimidinyl)oxy]-N-methyl-N-2-thiazolyl- (9CI) (CA INDEX NAME)



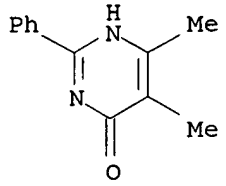
RN 204394-20-7 CAPLUS

CN Acetamide, 2-[(5,6-dimethyl-2-phenyl-4-pyrimidinyl)oxy]-N-ethyl-N-2-thiazolyl- (9CI) (CA INDEX NAME)

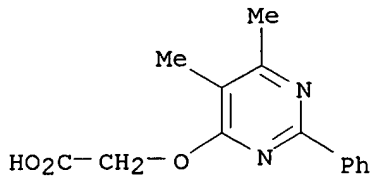


IT **86739-33-5 184110-02-9 204394-38-7**
RL: RCT (Reactant)
(prepn. of pyrimidine derivs. as pharmaceuticals with affinity for peripheral benzodiazepine receptors)

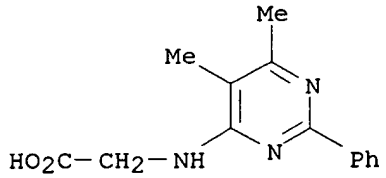
RN 86739-33-5 CAPLUS
CN 4(1H)-Pyrimidinone, 5,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 184110-02-9 CAPLUS
CN Acetic acid, [(5,6-dimethyl-2-phenyl-4-pyrimidinyl)oxy]- (9CI) (CA INDEX NAME)

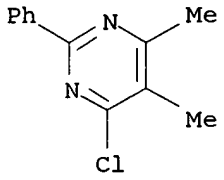


RN 204394-38-7 CAPLUS
CN Glycine, N-(5,6-dimethyl-2-phenyl-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

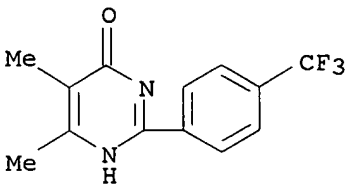


IT **91397-84-1P 180606-46-6P 180606-84-2P**
184110-03-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of pyrimidine derivs. as pharmaceuticals with affinity for peripheral benzodiazepine receptors)

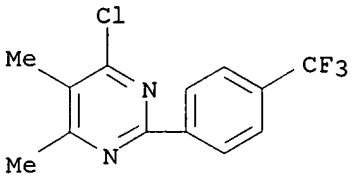
RN 91397-84-1 CAPLUS
CN Pyrimidine, 4-chloro-5,6-dimethyl-2-phenyl- (7CI, 9CI) (CA INDEX NAME)



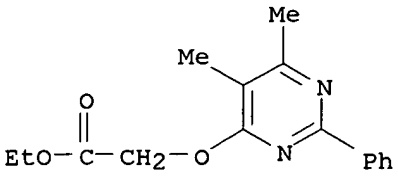
RN 180606-46-6 CAPLUS
CN 4(1H)-Pyrimidinone, 5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 180606-84-2 CAPLUS
CN Pyrimidine, 4-chloro-5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



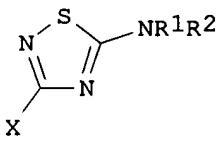
RN 184110-03-0 CAPLUS
CN Acetic acid, [(5,6-dimethyl-2-phenyl-4-pyrimidinyl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)



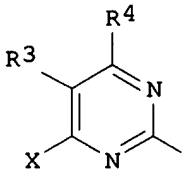
L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:119142 CAPLUS
DOCUMENT NUMBER: 126:131467
TITLE: Preparation of substituted aminothiadiazoles, -pyrimidines, -triazines, and -triazoles useful as **corticotropin** releasing factor receptor antagonists.
INVENTOR(S): Mccarthy, James R.; Xie, Yun Feng; Whitten, Jeffrey P.; Webb, Thomas R.; Chen, Chen; Ramphal, John Y.; Grigoriadis, Dimitri E.; Dagnino, Raymond, Jr.; Huang, Charles Q.; Liu, Zhengyu; et al.
PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA; Mccarthy, James R.; Xie, Yun Feng; Whitten, Jeffrey P.; Webb, Thomas R.; Chen, Chen; Ramphal, John Y.
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9639400	A1	19961212	WO 1996-US9633	19960606
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
US 5795905	A	19980818	US 1995-468799	19950606
CA 2223307	AA	19961212	CA 1996-2223307	19960606
AU 9659904	A1	19961224	AU 1996-59904	19960606
AU 717348	B2	20000323		
ZA 9604744	A	19970107	ZA 1996-4744	19960606
EP 846108	A1	19980610	EP 1996-917268	19960606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11507358	T2	19990629	JP 1996-501912	19960606

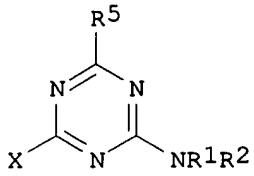
PRIORITY APPLN. INFO.: US 1995-468799 A 19950606
WO 1996-US9633 W 19960606
OTHER SOURCE(S): MARPAT 126:131467
GI



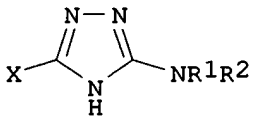
I



II



III

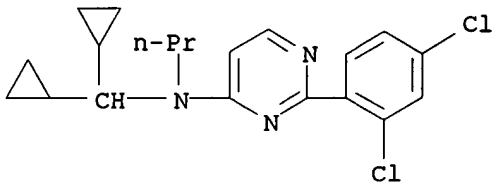


IV

AB Title compds. [I-IV, etc.; X = substituted (hetero)aryl; R1, R2 = alkyl, alkenyl, alkoxy, alkylthio, cycloalkylaralkyl, diarylalkyl, heteroaryl, heteroarylalkyl, and substituted derivs.; R3, R4 = H, (substituted) amino, halo, alkyl, carbonyl-contg. group, S-contg. group; R5 = H, halo, amino, alkyl, alkoxy], were prepd. Thus, 2,4,6-trichloroacetophenone was heated with DMF di-Me acetal at 90.degree. to give 67% of the corresponding enaminone, which was refluxed with N-dicyclopropylmethyl-N-propylguanidine hydrochloride and NaOEt in EtOH to give 2-(N-dicyclopropylmethyl-N-propylamino)-4-(2,4,6-trichlorophenyl)pyrimidine. The latter had a Ki .ltoreq.250 nM for binding to **CRF** receptors.

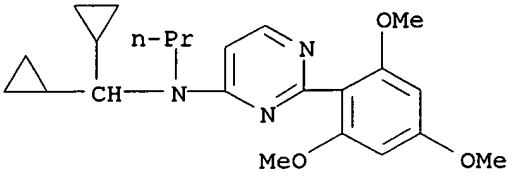
IT **186342-75-6P 186342-76-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted aminothiadiazoles, -pyrimidines, -triazines, and -triazoles useful as ACTH releasing factor receptor antagonists)

RN 186342-75-6 CAPLUS
CN 4-Pyrimidinamine, 2-(2,4-dichlorophenyl)-N-(dicyclopropylmethyl)-N-propyl- (9CI) (CA INDEX NAME)

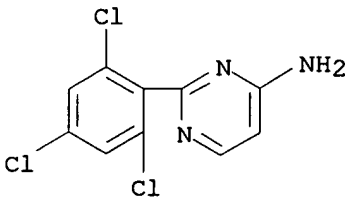


RN 186342-76-7 CAPLUS
CN 4-Pyrimidinamine, N-(dicyclopropylmethyl)-N-propyl-2-(2,4,6-

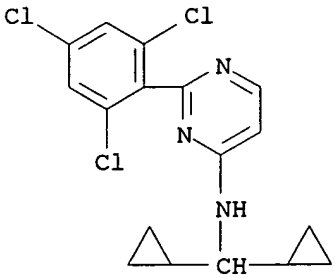
trimethoxyphenyl)- (9CI) (CA INDEX NAME)



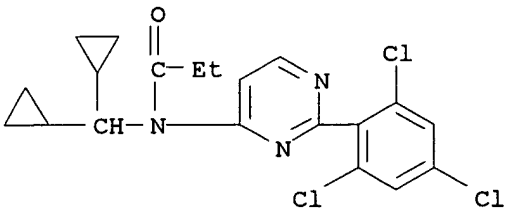
IT **186342-95-0P 186342-96-1P 186342-97-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of substituted aminothiadiazoles, -pyrimidines, -triazines, and
-triazoles useful as ACTH releasing factor receptor antagonists)
RN 186342-95-0 CAPLUS
CN 4-Pyrimidinamine, 2-(2,4,6-trichlorophenyl)- (9CI) (CA INDEX NAME)



RN 186342-96-1 CAPLUS
CN 4-Pyrimidinamine, N-(dicyclopropylmethyl)-2-(2,4,6-trichlorophenyl)-
(9CI)
(CA INDEX NAME)



RN 186342-97-2 CAPLUS
CN Propanamide, N-(dicyclopropylmethyl)-N-[2-(2,4,6-trichlorophenyl)-4-
pyrimidinyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:746347 CAPLUS
DOCUMENT NUMBER: 126:18875
TITLE: Preparation of benzimidazolylalkanone oximes as GABAA receptor modulators
INVENTOR(S): Teuber, Lene; Waetjen, Frank; Fukuda, Yoshimasa; Ushiroda, Osamu; Sasaki, Toshiro
PATENT ASSIGNEE(S): Neurosearch A/s, Den.; Meiji Seika Kaisha, Ltd.; Teuber, Lene; Waetjen, Frank; Fukuda, Yoshimasa; Ushiroda, Osamu; Sasaki, Toshiro
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

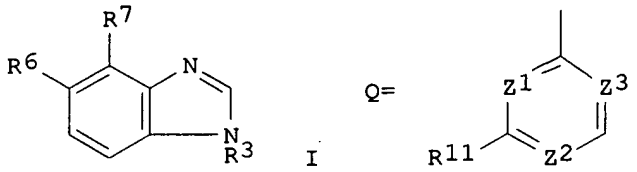
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9633191	A1	19961024	WO 1996-EP1649	19960419
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
CA 2218552	AA	19961024	CA 1996-2218552	19960419
AU 9656906	A1	19961107	AU 1996-56906	19960419
AU 699623	B2	19981210		
EP 821682	A1	19980204	EP 1996-914957	19960419
EP 821682	B1	20000719		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI				
CN 1182425	A	19980520	CN 1996-193421	19960419
RU 2136676	C1	19990910	RU 1997-119174	19960419
JP 11511734	T2	19991012	JP 1996-531484	19960419
BR 9608056	A	19991130	BR 1996-8056	19960419
AT 194836	E	20000815	AT 1996-914957	19960419
ES 2150671	T3	20001201	ES 1996-914957	19960419
CZ 287538	B6	20001213	CZ 1997-3291	19960419
NO 9704843	A	19971215	NO 1997-4843	19971020
US 5922725	A	19990713	US 1997-945123	19971223

PRIORITY APPLN. INFO.: DK 1995-460 A 19950421
DK 1995-741 A 19950627

WO 1996-EP1649 W 19960419

OTHER SOURCE(S): MARPAT 126:18875

GI



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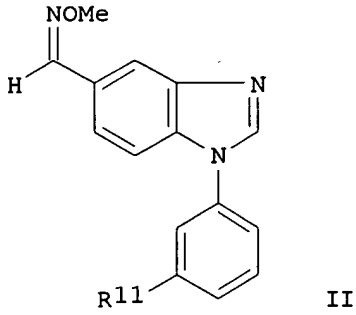


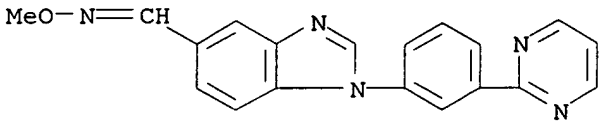
II

AB Title compds. [I; R3 = aryl group Q; 1 of R6,R7 = H and the other = CR:NOR1; R,R1 = H, alk(en)yl, alkynyl, Ph; R11 = Ph, benzimidazolyl, heteroaryl, etc.; Z1-Z3 = CH or 1 or 2 of Z1-Z3 = N and the other(s) = CH]
were prepd. Thus, 4,3-Cl(O2N)C6H3CO2CHMe2 was aminated by 3-BrC6H4NH2 and
the reduced product cyclocondensed with HCO2H to give, in 3 addnl. steps, title compd. II (R11 = Br) which was condensed with 2-(tributylstannyl)thiophene to give II (R11 = 2-thienyl). Data for biol activity of selected I were given.

IT **184220-51-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazolylalkanone oximes as GABAA receptor modulators)

RN 184220-51-7 CAPLUS

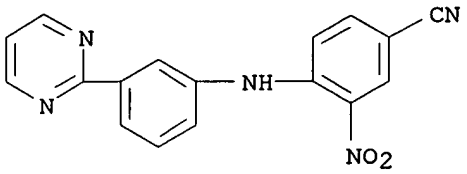
CN 1H-Benzimidazole-5-carboxaldehyde, 1-[3-(2-pyrimidinyl)phenyl]-, O-methyloxime (9CI) (CA INDEX NAME)



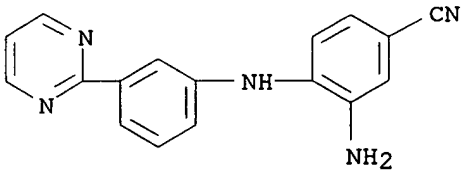
IT **184220-69-7P 184220-70-0P 184220-71-1P 184220-72-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of benzimidazolylalkanone oximes as GABAA receptor modulators)

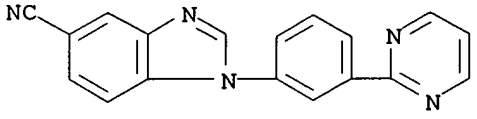
RN 184220-69-7 CAPLUS
CN Benzonitrile, 3-nitro-4-[[3-(2-pyrimidinyl)phenyl]amino]- (9CI) (CA INDEX NAME)



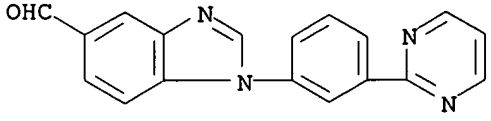
RN 184220-70-0 CAPLUS
CN Benzonitrile, 3-amino-4-[[3-(2-pyrimidinyl)phenyl]amino]- (9CI) (CA INDEX NAME)



RN 184220-71-1 CAPLUS
CN 1H-Benzimidazole-5-carbonitrile, 1-[3-(2-pyrimidinyl)phenyl]- (9CI) (CA INDEX NAME)

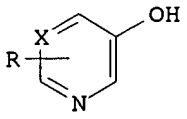


RN 184220-72-2 CAPLUS
CN 1H-Benzimidazole-5-carboxaldehyde, 1-[3-(2-pyrimidinyl)phenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1990:97951 CAPLUS

DOCUMENT NUMBER: 112:97951
TITLE: UV spectral study of acid-base transformations of 3-hydroxypyridines and 5-hydroxypyrimidines
AUTHOR(S): Korobeinicheva, I. K.; Sedova, V. F.; Gashev, S. B.; Smirnov, L. D.; Yagodina, O. V.; Mamaev, V. P.
CORPORATE SOURCE: Novosib. Inst. Org. Khim., Novosibirsk, 630090, USSR
SOURCE: Khim. Geterotsikl. Soedin. (1989), (8), 1094-9
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 112:97951
GI

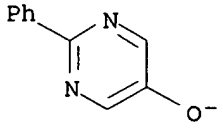


I

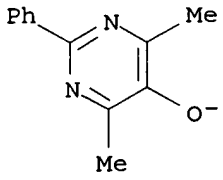
AB The concn. of the **bipolar** tautomeric form of hydroxypyridines I (X = CH) in aq. soln. was max. at pH 7.05 and increased in the series Rn = H .apprxeq. 2-CH2Ph < 2-tert-Bu < 2,6-di-Me; at pH 3.10 all I (X = CH) were protonated; at pH 11.00 all I (X = CH) were found in anionic form; in the solid state I (X = CH) were found in a mixt. of neutral and hydrogen-bonded ion-pair forms. The concn. of the **bipolar** tautomeric form of hydroxypyrimidines I (X = N) was max. at pH 3-4 and increased in the series Rn = H < Me < di-Me < tri-Me; I (X = N) were found in the neutral form in the solid state.

IT **125448-66-0P 125448-67-1P**
RL: PRP (Properties); FORM (Formation, nonpreparative); PREP (Preparation)
(formation and UV of)

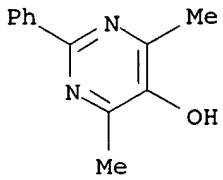
RN 125448-66-0 CAPLUS
CN 5-Pyrimidinol, 2-phenyl-, ion(1-) (9CI) (CA INDEX NAME)



RN 125448-67-1 CAPLUS
CN 5-Pyrimidinol, 4,6-dimethyl-2-phenyl-, ion(1-) (9CI) (CA INDEX NAME)



IT **75078-30-7**
RL: PRP (Properties)
(ionization and tautomerism of, in aq. soln., UV study of)
RN 75078-30-7 CAPLUS
CN 5-Pyrimidinol, 4,6-dimethyl-2-phenyl- (6CI, 9CI) (CA INDEX NAME)



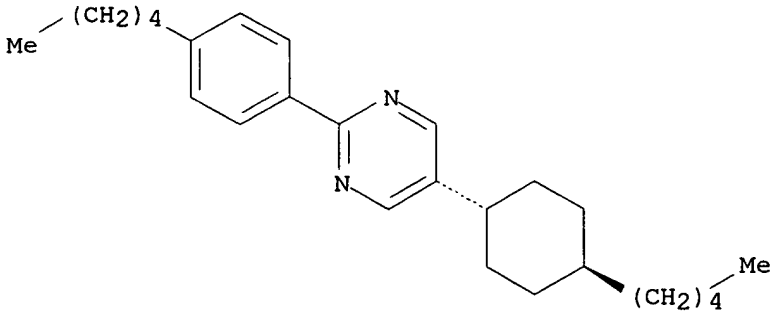
L9 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1985:479918 CAPLUS
DOCUMENT NUMBER: 103:79918
TITLE: Molecular structure and mesomorphic properties of thermotropic liquid crystals. III. Lateral substituents
AUTHOR(S): Osman, Maged A.
CORPORATE SOURCE: Brown Boveri Res. Cent., Baden, CH-5405, Switz.
SOURCE: Mol. Cryst. Liq. Cryst. (1985), 128(1-2), 45-63
CODEN: MCLCA5; ISSN: 0026-8941
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The effect of lateral substituents on the type and thermodn. stability of the mesophases shown by terminally polar and nonpolar rod-like mesogens is described. Lateral substituents both sterically force the interacting mols. apart and also hinder the packing of the mols. in a layered structure, thus suppressing smectic order and favoring the nematic phase. The nematic .fwdarw. isotropic transition temp., .theta.ni decreases with increasing van der Waals vol., V.omega. of the lateral substituent, irresp. of its dipole moment, due to the increase in intermol. distance r. The relation between .theta.ni and V.omega. is nonlinear because the repulsive and attractive forces are different functions of r. The **depression** in .theta.ni depends not only on V.omega. of the substituent, but also on its position and on the structure of the rigid core. In arom. nitriles, lateral substituents with + M effect in an ortho position with respect to the terminal CN group, hinder the mol. assocn. and therefore, lower the clearing point and increase .epsilon..dblvert..

IT **97540-16-4 97540-17-5**

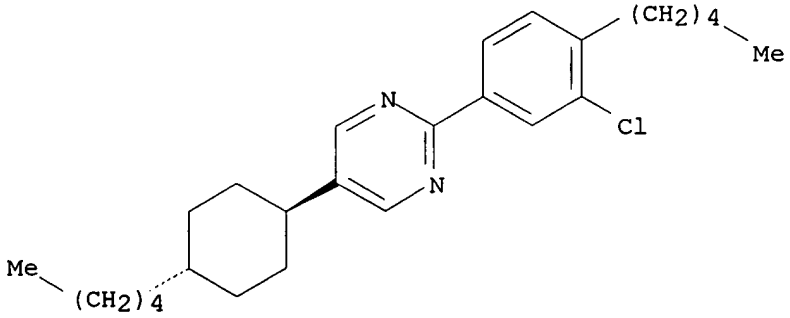
RL: PRP (Properties)
(liq. crystal, mol. structure and transitions of, lateral substituent effects on)
RN 97540-16-4 CAPLUS
CN Pyrimidine, 5-(4-pentylcyclohexyl)-2-(4-pentylphenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 97540-17-5 CAPLUS
CN Pyrimidine, 2-(3-chloro-4-pentylphenyl)-5-(4-pentylcyclohexyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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L2 38449 S L1 FUL
L3 STRUCTURE UPLOADED
L4 18689 S L3 FUL SUB=L2

FILE 'CAPLUS' ENTERED AT 10:06:39 ON 01 AUG 2001
L5 3113 S L4

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L6         12960 S (CRF OR CORTICOTROPIN)
L7        185612 S (ANOREX? OR BULIMI? OR DEPRESS? OR BIPOLAR OR CNS)
L8        197719 S L6 OR L7
L9            11 S L5 AND L8

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	60.22	226.36

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.47	-6.47

STN INTERNATIONAL LOGOFF AT 10:12:33 ON 01 AUG 2001